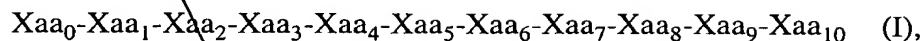


**WHAT IS CLAIMED IS:**

A compound having a formula:



or a pharmaceutically acceptable salt thereof, wherein

at least one amide bond of an amino acid residue represented by Xaa<sub>3</sub>, Xaa<sub>4</sub>, Xaa<sub>5</sub>, Xaa<sub>6</sub>, Xaa<sub>7</sub>, Xaa<sub>8</sub>, Xaa<sub>9</sub>, and Xaa<sub>10</sub> is N-alkylated;

Xaa<sub>1</sub> is absent or Xaa<sub>1</sub> is selected from the group consisting of hydrogen, N-methylprolyl, and an acyl group, wherein the acyl group is selected from the group consisting of

$R^1-(CH_2)_n-C(O)-$ , wherein  $n$  is an integer from 0 to 8 and  $R^1$  is selected from the group consisting of N-acetylamino, alkoxy, alkyl, aryl, carboxy, cycloalkenyl, cycloalkyl, heterocycle, and hydroxy; and

$R^2-CH_2CH_2-O-(CH_2CH_2O)_p-CH_2-C(O)-$ , wherein p is an integer from 1 to 8 and  $R^2$  is selected from the group consisting of hydrogen, N-acetylamino, and alkyl;

provided that  $Xaa_1$  is absent only when  $Xaa_2$  is N-( $R^3$ )-prolyl;

Xaa<sub>2</sub> is an N-alkylated amino acid selected from the group consisting of N-(R<sup>3</sup>)-alanyl, N-(R<sup>3</sup>)-glycyl, N-(R<sup>3</sup>)-norvalyl, and N-(R<sup>3</sup>)-prolyl, wherein R<sup>3</sup> is C<sub>1</sub>-C<sub>5</sub>-alkyl; or Xaa<sub>2</sub> is an N-unalkylated amino acid selected from the group consisting of

 $\beta$ -alanyl,

D-alanyl,

4-aminobutyryl,

(1R,3S)-1-aminocyclopentane-3-carbonyl,

(1S,3R)-1-aminocyclopentane-3-carbonyl,

(1R,4S)-1-aminocyclopent-2-ene-4-carbonyl,

(1S,4R)-1-aminocyclopent-2-ene-4-carbonyl,

asparaginyl,

3-(4-chlorophenyl)alanyl,

3-(4-cyanophenyl)alanyl,

glutaminy],

glutamyl,

glycyl,  
4-hydroxyprolyl,  
3-(4-methylphenyl)alanyl,  
prolyl,  
seryl, and  
threonyl;

Xaa<sub>3</sub> is an N-alkylated amino acid selected from the group consisting of N-(R<sup>3</sup>)-alanyl, N-(R<sup>3</sup>)-glycyl, N-(R<sup>3</sup>)-leucyl, and N-(R<sup>3</sup>)-phenylalanyl, wherein R<sup>3</sup> is as defined above; or Xaa<sub>3</sub> is an N-unalkylated amino acid selected from the group consisting of

alanyl,  
(1S,3R)-1-aminocyclopentane-3-carbonyl,  
(1S,4R)-1-aminocyclopent-2-ene-4-carbonyl,  
asparaginyll,  
aspartyl,  
3-(3-cyanophenyl)alanyl,  
3-(4-cyanophenyl)alanyl,  
glutaminyll,  
glycyl,  
leucyl,  
lysyl(N-epsilon-acetyl),  
3-(4-methylphenyl)alanyl,  
norvalyl,  
prolyl, and  
phenylalanyl;

Xaa<sub>4</sub> is an N-alkylated amino acid selected from the group consisting of N-(R<sup>3</sup>)-alanyl, N-(R<sup>3</sup>)-glycyl, N-(R<sup>3</sup>)-homophenylalanyl, N-(R<sup>3</sup>)-isoleucyl, N-(R<sup>3</sup>)-leucyl, N-(R<sup>3</sup>)-norvalyl, N-(R<sup>3</sup>)-phenylalanyl, N-(R<sup>3</sup>)-D-phenylalanyl, N-(R<sup>3</sup>)-seryl, N-(R<sup>3</sup>)-tyrosyl, N-(R<sup>3</sup>)-valyl, and N-(R<sup>3</sup>)-D-valyl, wherein R<sup>3</sup> is as defined above; or Xaa<sub>4</sub> is an N-unalkylated amino acid selected from the group consisting of

alanyl,  
alloisoleucyl,  
allylglycyl,  
2-aminobutyryl,

asparaginyl,

3-[2-(5-bromothieryl)]alanyl,

3-(3-chlorophenyl)alanyl,

3-(4-chlorophenyl)alanyl,

3-(3-cyanophenyl)alanyl,

cyclohexylalanyl,

3-(3,4-dimethoxyphenyl)alanyl,

3-(3-fluorophenyl)alanyl,

3-(4-fluorophenyl)anil,

glutaminy],

glycyl,

histidyl,

homophenylalanyl,

homoseryl,

isoleucyl,

leucyl,

lysyl(N-epsilon-acetyl),

methionyl,

methionyl(sulfone),

3-(4-methylphenyl)alanyl,

3-(naphth-1-yl)alanyl,

3-(naphth-2-yl)alanyl,

norornithyl,

norvalyl,

phenyalan~~yl~~,

phenylglycyl,

prolyl,

3-(3-pyr|dyl)alanyl,

3-(4-thiazolyl)alanyl,

3-(2-thienyl)alanyl,

seryl,

seryl(~~O~~-benzyl),

styrylanyl,

tryptyl,

110

tyrosyl,  
valyl, and  
D-valyl;

115

Xaa<sub>5</sub> is an N-alkylated amino acid selected from the group consisting of N-(R<sup>3</sup>)-D-homophenylalanyl, N-(R<sup>3</sup>)-D-isoleucyl, N-(R<sup>3</sup>)-D-leucyl, and N-(R<sup>3</sup>)-D-phenylalanyl, wherein R<sup>3</sup> is as defined above; or Xaa<sub>5</sub> is an N-unalkylated amino acid selected from the group consisting of

120

D-alanyl,  
alloisoleucyl,  
D-alloisoleucyl,  
D-2-aminobutyryl,  
D-3-(4-aminophenyl)alanyl,  
D-asparaginy,   
D-3-(3-benzothienyl)alanyl,  
D-*t*-butylglycyl,  
125 D-(chlorophenyl)alanyl,  
D-citrullyl,  
D-3-(3-cyanophenyl)alanyl,  
D-cyclohexylalanyl,  
cyclohexylglycyl,  
130 D-cysteinyl(S-acetamidomethyl),  
D-cysteinyl(S-*t*-butyl),  
D-3-(3,4-difluorophenyl)alanyl,  
D-(3,4-dimethoxyphenyl)alanyl,  
D-glutaminyl,  
135 glycyl,  
D-homophenylalanyl,  
D-homoseryl,  
isoleucyl,  
D-isoleucyl,  
140 D-leucyl,  
D-lysyl(N-epsilon-nicotinyl),  
D-lysyl,  
D-methionyl,  
D-3-(4-methylphenyl)alanyl,

145 D-3-(naphth-1-yl)alanyl,  
 D-3-(naphth-2-yl)alanyl,  
 D-3-(4-nitrophenyl)alanyl,  
 D-norleucyl,  
 D-ornithyl,  
 150 D-penicillaminy (S-acetamidomethyl),  
 D-penicillaminy (S-benzyl),  
 D-penicillaminy (S-methyl),  
 D-penicillaminy,  
 D-3-(pentafluorophenyl)alanyl,  
 155 D-phenylalanyl,  
 D-prolyl,  
 D-seryl (O-benzyl),  
 D-seryl,  
 D-(2-thienyl)alanyl,  
 160 D-threonyl (O-benzyl),  
 D-threonyl,  
 D-3-(3-trifluoromethylphenyl)alanyl,  
 D-(3,4,5-trifluorophenyl)alanyl,  
 D-tryptyl,  
 165 D-tyrosyl (O-ethyl),  
 D-tyrosyl, and  
 D-valyl;

170 Xaa<sub>6</sub> is an N-alkylated amino acid selected from the group consisting of N-(R<sup>3</sup>)-  
 aspartyl, N-(R<sup>3</sup>)-glutamyl, N-(R<sup>3</sup>)-glycyl, N-(R<sup>3</sup>)-seryl, N-(R<sup>3</sup>)-threonyl, N-(R<sup>3</sup>)-  
 threonyl (O-benzyl), and N-(R<sup>3</sup>)-tyrosyl, wherein R<sup>3</sup> is as defined above; or Xaa<sub>6</sub> is  
 an N-unalkylated amino acid selected from the group consisting of

175 alanyl,  
 allothreonyl,  
 D-allothreonyl,  
 allylglycyl,  
 asparaginy,   
 aspartyl,  
 glutaminy,   
 180 glycyl,

histidyl,  
 homoseryl,  
 D-homoseryl,  
 3-(4-hydroxymethylphenyl)alanyl,  
 isoleucyl,  
 lysyl(N-epsilon-acetyl),  
 methionyl,  
 3-(naphth-2-yl)alanyl,  
 norvalyl,  
 octylglycyl,  
 prolyl,  
 3-(3-pyridyl)alanyl,  
 seryl,  
 D-seryl,  
 threonyl,  
 D-threonyl,  
 tryptyl,  
 tyrosyl, and  
 tyrosyl(O-methyl);

Xaa<sub>7</sub> is an N-alkylated amino acid selected from the group consisting of N-(R<sup>3</sup>)-alanyl, N-(R<sup>3</sup>)-glycyl, N-(R<sup>3</sup>)-isoleucyl, N-(R<sup>3</sup>)-leucyl, N-(R<sup>3</sup>)-D-leucyl, N-(R<sup>3</sup>)-norleucyl, N-(R<sup>3</sup>)-norvalyl, N-(R<sup>3</sup>)-seryl, N-(R<sup>3</sup>)-threonyl, and N-(R<sup>3</sup>)-valyl, wherein R<sup>3</sup> is as defined above; or Xaa<sub>7</sub> is an N-unalkylated amino acid selected from the group consisting of

alanyl,  
 allothreonyl,  
 allylglycyl,  
 3-(4-amidophenyl)alanyl,  
 2-aminobutyryl,  
 arginyl,  
 asparaginyl,  
 cyclohexylalanyl,  
 glutaminyl,  
 D-glutaminyl,  
 glycyl,

220 homoalanyl,  
 homoseryl,  
 4-hydroxypropyl,  
 leucyl,  
 D-leucyl,  
 lysyl(N-epsilon-acetyl),  
 methionyl sulfone,  
 methionyl sulfoxide,  
 225 methionyl,  
 norleucyl,  
 norvalyl,  
 D-norvalyl,  
 octylglycyl,  
 230 ornithyl(N-delta-acetyl),  
 phenylalanyl,  
 propargylglycyl,  
 seryl,  
 D-seryl,  
 235 threonyl,  
 tryptyl,  
 tyrosyl, and  
 valyl;

240 Xaa<sub>8</sub> is an N-alkylated amino acid selected from the group consisting of N-(R<sup>3</sup>)-  
 alanyl, N-(R<sup>3</sup>)-D-alanyl, N-(R<sup>3</sup>)-isoleucyl, and N-(R<sup>3</sup>)-leucyl, wherein R<sup>3</sup> is as  
 defined above; or Xaa<sub>8</sub> is an N-unalkylated amino acid selected from the group  
 consisting of

245 alanyl,  
 alloisoleucyl,  
 D-alloisoleucyl,  
 allylglycyl,  
 citrullyl,  
 glycyl,  
 250 isoleucyl,  
 D-isoleucyl,  
 leucyl,

255 D-leucyl,  
lysyl(N-epsilon-acetyl),  
D-lysyl(N-epsilon-acetyl),  
methionyl,  
3-(naphth-1-yl)alanyl,  
norvalyl,  
prolyl,  
260 D-prolyl, and  
valyl;

Xaa<sub>9</sub> is the N-alkylated amino acid N-(R<sup>3</sup>)-arginyl, wherein R<sup>3</sup> is as defined above;  
or Xaa<sub>9</sub> is an N-unalkylated amino acid selected from the group consisting of

265 [(4-amino-N-isopropyl)cyclohexyl]alanyl,  
3-(4-amino-N-isopropylphenyl)alanyl,  
arginyl(N<sup>G</sup>N<sup>G'</sup>diethyl),  
arginyl,  
D-arginyl,  
270 citrullyl,  
glutaminyl,  
3-(4-guanidinophenyl)alanyl,  
histidyl,  
homoarginyl,  
275 lysyl(N-epsilon-isopropyl),  
lysyl(N-epsilon-nicotinyl),  
lysyl,  
norarginyl,  
ornithyl,  
280 ornithyl[N-delta-(2-imidazoliny)],  
ornithyl(N-delta-isopropyl), and  
3-(3-pyridyl)alanyl;

Xaa<sub>10</sub> is an N-alkylated amino acid selected from the group consisting of N-(R<sup>3</sup>)-  
285 alanyl, N-(R<sup>3</sup>)-D-alanyl, N-(R<sup>3</sup>)-glycyl, N-(R<sup>3</sup>)-homoalanyl, and N-(R<sup>3</sup>)-norvalyl,  
wherein R<sup>3</sup> is as defined above; or Xaa<sub>10</sub> is an N-unalkylated amino acid selected  
from the group consisting of

D-alanyl,



290

2-aminobutyryl,  
 D-2-aminobutyryl,  
 2-aminoisobutyryl,  
 3,4-dehydropyrol,yl,  
 4-hydroxyprolyl,  
 phenylalanyl,  
 prolyl,  
 D-prolyl,  
 1,2,3,4-tetrahydroisoquinoline-3-carbonyl, and  
 D-valyl; and

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Xaa<sub>11</sub> is a hydroxy group or an amino acid amide selected from the group consisting of:

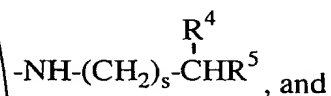
305

alanylamide,  
 D-alanylamide,  
 alanylethylamide,  
 D-alanylethylamide,  
 azaglycylamide,  
 glycylamide,  
 glycylethylamide,  
 lysyl(N-epsilon-acetyl),  
 D-lysyl(N-epsilon-acetyl),  
 N-methyl-D-alanylamide,  
 sarcosylamide,  
 serylamine,  
 D-serylamine,

310

315

a residue represented by the formula



a group represented by the formula  $-\text{NH}-\text{R}^6$ ; wherein

s is an integer from 0 to 8;

R<sup>4</sup> is selected from the group consisting of hydrogen, alkyl, and a 5- to 6-membered cycloalkyl ring;

320

R<sup>5</sup> is selected from the group consisting of hydrogen, alkoxy, alkyl, aryl, cycloalkenyl, cycloalkyl, heterocycle, and hydroxy; provided that s is not zero when R<sup>5</sup> is hydroxy or alkoxy; and

R<sup>6</sup> is selected from hydrogen and hydroxy.

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2. A compound according to Claim 1, wherein Xaa<sub>1</sub> is absent or is selected from the group consisting of

hydrogen,  
acetyl,  
N-acetyl-β-alanyl,  
butyryl,  
(4-N-acetylamino)butyryl,  
(6-N-acetylamino)caproyl,  
(8-N-acetylamino)-3,6-dioxo-octanoyl,  
caproyl,  
chloronicotinyl,  
cyclohexylacetyl,  
furoyl,  
2-methoxyacetyl,  
2-methylnicotinyl,  
N-methylprolyl,  
nicotinyl,  
phenylacetyl,  
propionyl,  
shikimyl,  
succinyl, and  
tetrahydrofuroyl.

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3. A compound according to Claim 2, wherein Xaa<sub>1</sub> is absent or is selected from the group consisting of

acetyl,  
N-methylprolyl, and  
succinyl.

5

4. A compound according to Claim 1, wherein Xaa<sub>2</sub> is selected from the group consisting of

N-methylalanyl,  
sarcosyl,  
N-ethylglycyl,

5

10 N-methylnorvalyl,  
N-methylprolyl,  
β-alanyl,  
4-aminobutyryl,  
asparaginyll,  
glutaminyll,  
glutamyl,  
glycyl,  
prolyl,  
15 seryl, and  
threonyl.

5. A compound according to Claim 4, wherein Xaa<sub>2</sub> is selected from the group consisting of  
sarcosyl, and  
N-methylprolyl.

6. A compound according to Claim 1, wherein Xaa<sub>3</sub> is selected from the group consisting of

5 N-methylalanyl,  
sarcosyl,  
N-methylleucyl,  
N-methylphenylalanyl,  
alanyl,  
asparaginyll,  
aspartyl,  
10 glutaminyll,  
glycyl,  
leucyl,  
norvalyl,  
prolyl, and  
15 phenylalanyl.

7. A compound according to Claim 6, wherein Xaa<sub>3</sub> is selected from the group consisting of  
N-methylalanyl, and

glycyl.

5

8. A compound according to Claim 1, wherein Xaa<sub>4</sub> is selected from the group consisting of

N-methylalanyl,  
sarcosyl,  
N-methylhomophenylalanyl,  
N-methylisoleucyl,  
N-methyllleucyl,  
N-methylnorvalyl,  
N-methylphenylalanyl,  
N-methyl-D-phenylalanyl,  
N-methylseryl,  
N-methyltyrosyl,  
N-methylvalyl,  
N-methyl-D-valyl,  
3-[2-(5-bromothieryl)]alanyl,  
3-(3-chlorophenyl)alanyl,  
3-(4-chlorophenyl)alanyl,  
3-(3-cyanophenyl)alanyl,  
3-(3,4-dimethoxyphenyl)alanyl,  
3-(3-fluorophenyl)alanyl,  
3-(4-fluorophenyl)alanyl,  
3-(4-methylphenyl)alanyl,  
3-(naphth-1-yl)alanyl,  
3-(naphth-2-yl)alanyl,  
3-(3-pyridyl)alanyl,  
3-(4-thiazolyl)alanyl,  
3-(2-thienyl)alanyl,  
alloisoleucyl,  
allylglycyl,  
2-aminobutyryl,  
asparaginyll,  
cyclohexylalanyl,  
glutaminyl,  
glycyl,

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35 histidyl,  
homophenylalanyl,  
homoseryl,  
isoleucyl,  
leucyl,  
40 lysyl(N-epsilon-acetyl),  
methionyl,  
methionyl(sulfone),  
norornithyl,  
norvalyl,  
45 phenylalanyl,  
phenylglycyl,  
prolyl,  
seryl,  
seryl(O-benzyl),  
50 styrylalanyl,  
tryptyl,  
tyrosyl, and  
valyl.

9. A compound according to Claim 8, wherein Xaa<sub>4</sub> is selected from the group consisting of

5 N-methylalanyl,  
N-methylisoleucyl,  
N-methylleucyl,  
N-methylnorvalyl,  
N-methylphenylalanyl,  
N-methyl-D-phenylalanyl,  
N-methylvalyl,  
10 N-methyl-D-valyl,  
asparaginyll,  
glutaminyl,  
isoleucyl,  
phenylalanyl, and  
15 valyl.

10. A compound according to Claim 1, wherein Xaa<sub>5</sub> is selected from the group consisting of

N-methyl-D-homophenylalanyl,  
N-methyl-D-isoleucyl,  
5 N-methyl-D-leucyl,  
D-3-(4-aminophenyl)alanyl,  
D-3-(3-benzothienyl)alanyl,  
D-(chlorophenyl)alanyl,  
D-3-(3-cyanophenyl)alanyl,  
10 D-3-(3,4-difluorophenyl)alanyl,  
D-(3,4-dimethoxyphenyl)alanyl,  
D-3-(4-methylphenyl)alanyl,  
D-3-(naphth-1-yl)alanyl,  
D-3-(naphth-2-yl)alanyl.  
15 D-3-(4-nitrophenyl)alanyl,  
D-3-(pentafluorophenyl)alanyl,  
D-3-(3-trifluoromethylphenyl)alanyl,  
D-(3,4,5-trifluorophenyl)alanyl,  
D-alanyl,  
20 alloseucyl,  
D-alloseucyl,  
D-2-aminobutyryl,  
D-asparagyl,  
D-citrullyl,  
25 D-cyclohexylalanyl,  
cyclohexylglycyl,  
D-cysteinyl(S-acetamidomethyl),  
D-cysteinyl(S-*t*-butyl),  
D-glutamyl,  
30 glycyl,  
D-homophenylalanyl,  
D-homoseryl,  
isoleucyl,  
D-isoleucyl,  
35 D-leucyl,  
D-lysyl(N-epsilon-nicotinyl),

40 D-lysyl,  
D-methionyl,  
D-norleucyl,  
D-ornithyl,  
D-penicillaminy (S-acetamidomethyl),  
D-penicillaminy (S-benzyl),  
D-penicillaminy (S-methyl),  
D-penicillaminy,  
45 D-phenylalanyl,  
D-prolyl,  
D-seryl (O-benzyl),  
D-seryl,  
D-*t*-butylglycyl,  
50 D-(2-thienyl)alanyl,  
D-threonyl (O-benzyl),  
D-threonyl,  
D-tryptyl,  
D-tyrosyl (O-ethyl),  
55 D-tyrosyl, and  
D-valyl.

11. A compound according to Claim 10, wherein Xaa<sub>5</sub> is selected from the group consisting of

5 N-methyl-D-leucyl,  
D-alloisoleucyl,  
D-isoleucyl,  
D-leucyl,  
D-homophenylalanyl, and  
D-penicillaminy (S-methyl).

12. A compound according to Claim 1, wherein Xaa<sub>6</sub> is selected from the group consisting of

5 N-methylaspartyl,  
N-methylglutamyl,  
sarcosyl,  
N-methylseryl,





10                   allothreonyl,  
                      seryl,  
                      threonyl, and  
                      tyrosyl.

14.     A compound according to Claim 1, wherein Xaa<sub>7</sub> is selected from the group consisting of

                      N-methylalanyl,  
                      sarcosyl,  
5                   N-methylisoleucyl,  
                      N-methyllleucyl,  
                      N-methyl-D-leucyl,  
                      N-methylnorleucyl,  
                      N-methylnorvalyl,  
10                   N-methylseryl,  
                      N-methylthreonyl,  
                      N-methylvalyl,  
                      alanyl,  
                      allylglycyl,  
15                   3-(4-amidophenyl)alanyl,  
                      2-aminobutyryl,  
                      arginyl,  
                      asparaginyll,  
                      cyclohexylalanyl,  
20                   glutaminyll,  
                      D-glutaminyll,  
                      glycyl,  
                      homoalanyl,  
                      homoseryl,  
25                   leucyl,  
                      D-leucyl,  
                      lysyl(N-epsilon-acetyl),  
                      methionyl,  
                      methionyl sulfone,  
30                   methionyl sulfoxide,  
                      norleucyl,

35 norvalyl,  
D-norvalyl,  
octylglycyl,  
ornithyl(N-delta-acetyl),  
phenylalanyl,  
propargylglycyl,  
seryl,  
D-seryl,  
40 tyrosyl, and  
valyl.

15. A compound according to Claim 14, wherein Xaa<sub>7</sub> is selected from the group consisting of

5 N-methylalanyl,  
sarcosyl,  
N-methylisoleucyl,  
N-methyllaucyl,  
N-methyl-D-leucyl,  
N-methylnorleucyl,  
N-methylnorvalyl,  
10 N-methylseryl,  
N-methylthreonyl,  
N-methylvalyl,  
norleucyl,  
norvalyl, and  
15 seryl.

16. A compound according to Claim 1, wherein Xaa<sub>8</sub> is selected from the group consisting of

5 N-methylalanyl,  
N-methyl-D-alanyl,  
N-methylisoleucyl,  
N-methyllaucyl,  
3-(naphth-1-yl)alanyl,  
alanyl,  
allylglycyl,

10                   glycyl,  
                  isoleucyl,  
                  D-isoleucyl,  
                  leucyl,  
                  D-lysyl(N-epsilon-acetyl),  
15                   methionyl,  
                  norvalyl,  
                  prolyl, and  
                  valyl.

17.     A compound according to Claim 16, wherein Xaa<sub>8</sub> is selected from the group consisting of

5                   N-methylalanyl,  
                  N-methyl-D-alanyl,  
                  N-methylisoleucyl,  
                  N-methylleucyl,  
                  isoleucyl,  
                  D-isoleucyl, and  
10                   D-lysyl(N-epsilon-acetyl).

18.     The compound according to Claim 1, wherein Xaa<sub>9</sub> is selected from the group consisting of

5                   N-methylarginyl,  
                  [(4-amino-N-isopropyl)cyclohexyl]alanyl,  
                  3-(4-amino-N-isopropylphenyl)alanyl,  
                  3-(4-guanidinophenyl)alanyl,  
                  arginyl,  
                  arginyl(N<sup>G</sup>N<sup>G</sup> diethyl),  
                  citrullyl,  
10                   2-[4-piperidinyl(N-amidino)]glycyl,  
                  glutaminyll,  
                  histidyl,  
                  homoarginyl,  
                  lysyl,  
15                   lysyl(N-epsilon-isopropyl),  
                  lysyl(N-epsilon-nicotinyl),

norarginyl,  
ornithyl,  
ornithyl[N-delta-(2-imidazoliny)], and  
ornithyl(N-delta-isopropyl).

19. A compound according to Claim 18, wherein Xaa<sub>9</sub> is selected from the group consisting of

arginyl, and  
N-methylarginyl.

20. A compound according to Claim 1, wherein Xaa<sub>10</sub> is selected from the group consisting of

N-methylalanyl,  
sarcosyl,  
N-methylhomoalanyl,  
N-methylnorvalyl,  
D-alanyl,  
2-aminobutyryl,  
2-aminoisobutyryl,  
3,4-dehydroprolyl,  
4-hydroxyprolyl,  
phenylalanyl,  
prolyl,  
D-prolyl, and  
1,2,3,4-tetrahydroisoquinoline-3-carbonyl.

21. A compound according to Claim 20, wherein Xaa<sub>10</sub> is selected from the group consisting of

N-methylalanyl,  
sarcosyl,  
N-methylnorvalyl, and  
prolyl.

22. A compound according to Claim 1, wherein Xaa<sub>11</sub> is selected from the group consisting of

alanylamide,

D-alanylamide,  
D-alanylethylamide,  
azaglycylamide,  
NH-cyclobutyl,  
NH-cycloheptyl,  
NH-1-(cyclohexyl)ethyl,  
NH-2-(cyclohexyl)ethyl,  
NH-2-(ethoxy)ethyl,  
NH-ethyl,  
NH-glycyl,  
glycylethylamide,  
NH-hexyl,  
NH-2-(hydroxy)ethyl,  
NH-isoamyl,  
NH-isobutyl,  
NH-2-(isopropoxy)ethyl,  
NH-isopropyl,  
NH-2-(methoxy)ethyl,  
NH-3-(methoxy)propyl,  
N-methyl-D-alanylamide,  
NH-propyl,  
NH-2-(1-pyrrolidine)ethyl, and  
serylamide.

23. A compound according to Claim 22, wherein Xaa<sub>11</sub> is selected from the group consisting of

NH-ethyl, and  
D-alanylamide.

24. A compound according to Claim 1, wherein

Xaa<sub>1</sub> is absent or is selected from the group consisting of  
acetyl,  
N-methylprolyl, and  
succinyl;

Xaa<sub>2</sub> is selected from the group consisting of  
sarcosyl, and  
N-methylprolyl;

Xaa<sub>3</sub> is selected from the group consisting of  
N-methylalanyl, and  
glycyl;

Xaa<sub>4</sub> is selected from the group consisting of  
N-methylalanyl,  
N-methylisoleucyl,  
N-methylleucyl,  
N-methylnorvalyl,  
N-methylphenylalanyl,  
N-methyl-D-phenylalanyl,  
N-methylvalyl,  
N-methyl-D-valyl,  
asparaginy,   
glutaminy,   
isoleucyl,   
phenylalanyl, and  
valyl;

Xaa<sub>5</sub> is selected from the group consisting of  
N-methyl-D-leucyl,  
D-alloisoleucyl,  
D-isoleucyl,  
D-leucyl,  
D-homophenylalanyl, and  
D-penacillaminy(S-methyl);

Xaa<sub>6</sub> is selected from the group consisting of  
N-methylaspartyl,  
N-methylglutamyl,  
sarcosyl,  
N-methylseryl,

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N-methyltyrosyl,  
N-methylthreonyl,  
N-methylthreonyl(O-benzyl),  
allothreonyl,  
seryl,  
threonyl, and  
tyrosyl;

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Xaa<sub>7</sub> is selected from the group consisting of

N-methylalanyl,  
sarcosyl,  
N-methylisoleucyl,  
N-methylleucyl,  
N-methyl-D-leucyl,  
N-methylnorleucyl,  
N-methylnorvalyl,  
N-methylseryl,  
N-methylthreonyl,  
N-methylvalyl,  
norleucyl,  
norvalyl, and  
seryl;

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Xaa<sub>8</sub> is selected from the group consisting of

N-methylalanyl,  
N-methyl-D-alanyl,  
N-methylisoleucyl,  
N-methylleucyl,  
isoleucyl,  
D-isoleucyl, and  
D-lysyl(N-epsilon-acetyl);

70

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Xaa<sub>9</sub> is selected from the group consisting of

arginyl, and  
N-methylarginyl;

80

Xaa<sub>10</sub> is selected from the group consisting of

N-methylalanyl,  
sarcosyl,  
N-methylnorvalyl, and  
prolyl; and

85

Xaa<sub>11</sub> is selected from the group consisting of

NH-ethyl, and  
D-alanylamine.

25. A compound according to Claim 24 wherein Xaa<sub>1</sub> is selected from the group consisting of

acetyl, and  
succinyl.

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26. A compound according to Claim 24 wherein Xaa<sub>2</sub> is sarcosyl.

27. A compound according to Claim 24 wherein Xaa<sub>4</sub> is selected from the group consisting of

N-methyleucyl,  
N-methylnorvalyl,  
N-methylphenylalanyl,  
N-methyl-D-phenylalanyl, and  
valyl.

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28. A compound according to Claim 24 wherein Xaa<sub>5</sub> is selected from the group consisting of

N-methyl-D-leucyl,  
D-alloisoleucyl,  
D-isoleucyl, and  
D-leucyl;

5

29. A compound according to Claim 24 wherein Xaa<sub>6</sub> is selected from the group consisting of

sarcosyl,  
N-methylseryl,



5 N-methyltyrosyl,  
allothreonyl,  
seryl, and  
threonyl.

30. A compound according to Claim 24 wherein Xaa<sub>7</sub> is selected from the group consisting of

5 N-methylalanyl,  
N-methylnorvalyl,  
N-methylvalyl, and  
norvalyl.

31. A compound according to Claim 24 wherein Xaa<sub>8</sub> is selected from the group consisting of

5 N-methyllaucyl, and  
isoleucyl.

32. A compound according to Claim 24 wherein Xaa<sub>9</sub> is arginyl.

33. A compound according to Claim 24 wherein Xaa<sub>10</sub> is selected from the group consisting of

5 N-methylalanyl, and  
prolyl.

34. A pharmaceutical composition comprising a compound of Claim 1 and a pharmaceutically acceptable carrier.

35. A method of treating a patient in need of anti-angiogenesis therapy comprising administering to the patient in need a therapeutically effective amount of a compound of Claim 1.

36. A composition for the treatment of a disease selected from cancer, arthritis, psoriasis, angiogenesis of the eye associated with infection or surgical intervention, macular degeneration and diabetic retinopathy comprising a compound of Claim 1 in combination with a pharmaceutically acceptable carrier.

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37. A method of isolating a receptor from an endothelial cell comprising binding compound of Claim 1 to the receptor to form a peptide receptor complex; isolating the peptide receptor complex; and purifying the receptor.

38. A compound, or a pharmaceutically acceptable salt thereof, selected from the group consisting of

N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-SarNH-ethyl,

N-Succinyl-Sar-Gly-Val-D-Leu-Thr-NMeNva-Ile-Arg-ProNH-ethyl,

5 N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-NMeArg-ProNH-ethyl,

N-Ac-Sar-Gly-NMeVal-D-Ile-Thr-Nva-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-NMeIle-D-Ile-Thr-Nva-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-NMeAla-D-Ile-Thr-Nva-Ile-Arg-ProNH-ethyl,

N-MePro-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNH-ethyl,

10 N-Ac-Sar-Gly-Val-D-Ile-NMeThr(Bzl)-Nva-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-Val-D-Ile-Thr-Sar-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-Val-D-Ile-Thr-NMeLeu-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-Val-D-alloIle-Thr-NMeVal-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-Val-D-Ile-Thr-NMeVal-Ile-Arg-Pro-D-AlaNH<sub>2</sub>,

15 N-Ac-Sar-Gly-Val-D-Ile-NMeThr-Nva-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-Val-D-alloIle-Thr-NMeSer-Ile-Arg-Pro-D-AlaNH<sub>2</sub>,

N-Ac-Sar-Gly-Phe-D-Ile-Thr-NMeVal-Ile-Arg-Pro-D-AlaNH<sub>2</sub>,

N-Ac-Sar-Gly-Val-D-alloIle-Tyr-NMeNva-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-Val-D-alloIle-Tyr-NMeVal-Ile-Arg-ProNH-ethyl,

20 N-Ac-Sar-Gly-Gln-D-Ile-Thr-NMeNva-Ile-Arg-Pro-D-AlaNH<sub>2</sub>,

N-Ac-Sar-Gly-Val-D-alloIle-NMeThr-Nva-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-Val-D-Ile-Thr-NMeSer-Ile-Arg-Pro-D-AlaNH<sub>2</sub>,

N-Ac-Sar-Gly-NMeVal-D-Ile-Thr-Nva-Ile-Arg-Pro-D-AlaNH<sub>2</sub>,

N-Ac-Sar-Gly-NMeVal-D-alloIle-Thr-Nva-Ile-Arg-ProNH-ethyl,

25 N-Ac-Sar-Gly-Val-D-HpHe-Thr-NMeNva-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-Val-D-HpHe-Thr-NMeVal-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-Val-D-Pen(SMe)-Thr-NMeNva-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-Val-D-Pen(SMe)-Thr-NMeVal-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-NMeNvaNH-ethyl,

30 N-Ac-Sar-Gly-Val-NMe-D-Leu-Ser-Nva-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-Asn-NMe-D-Leu-Ser-Nva-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-Asn-D-Leu-NMeSer-Nva-Ile-Arg-ProNH-ethyl,



- 15 N-Ac-Sar-Gly-Val-D-Ile-Thr-NMeNva-Ile-Arg-Pro-D-AlaNH<sub>2</sub>,  
 N-Ac-Sar-Gly-Val-D-Ile-NMeSer-Nva-Ile-Arg-ProNH-ethyl,  
 N-Ac-Sar-Gly-Val-D-Leu-NMeSer-Nva-Ile-Arg-ProNH-ethyl,  
 N-Ac-Sar-Gly-Val-D-Leu-Ser-NMeNva-Ile-Arg-ProNH-ethyl,  
 N-Ac-Sar-Gly-Val-D-alloIle-Ser-NMeSer-Ile-Arg-ProNH-ethyl,  
 20 N-Ac-Sar-Gly-Val-D-alloIle-Thr-NMeSer-Ile-Arg-ProNH-ethyl,  
 N-Ac-Sar-Gly-Val-D-Ile-Thr-NMeSer-Ile-Arg-ProNH-ethyl,  
 N-Ac-Sar-Gly-Val-D-alloIle-NMeSer-Ser-Ile-Arg-ProNH-ethyl,  
 NAc-Sar-Gly-Val-NMe-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,  
 NAc-Sar-Gly-NMeNva-D-alloIle-Thr-Nva-Ile-Arg-ProNH-ethyl,  
 25 NAc-Sar-Gly-NMePhe-D-Ile-Thr-Nva-Ile-Arg-Pro-D-AlaNH<sub>2</sub>,  
 NAc-Sar-Gly-Val-D-Ile-alloThr-NMeNle-Ile-Arg-ProNH-ethyl,  
 NAc-Sar-Gly-NMe-DPhe-D-Ile-Thr-Nva-Ile-Arg-ProNH-ethyl,  
 NAc-Sar-Gly-Val-D-alloIle-Ser-NMeSer-Ile-Arg-Pro-D-AlaNH<sub>2</sub>,  
 NAc-Sar-Gly-Val-D-alloIle-NMeTyr-Nva-Ile-Arg-ProNH-ethyl, and  
 30 NAc-Sar-Gly-Val-D-Ile-Thr-NMeNva-DLys(Ac)-Arg-ProNH-ethyl.